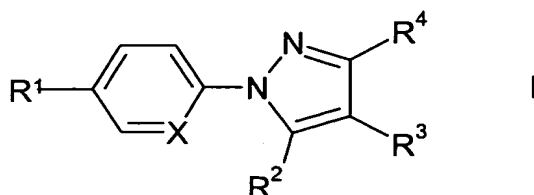


# Patent claims

## 1. Compounds of the formula I



10 in which

R¹ denotes H, A, Hal, (CH₂)<sub>n</sub>Het, (CH₂)<sub>n</sub>Ar, cycloalkyl having 3 to 7 C atoms, CF₃, NO₂, CN, C(NH)NOH or OCF₃,

15 R² denotes (CH₂)<sub>n</sub>Het, (CH₂)<sub>n</sub>Ar, cycloalkyl having 3 to 7 C atoms or CF₃,

20 R³, R⁴ denote H, (CH₂)<sub>n</sub>CO₂R⁵, (CH₂)<sub>n</sub>COHet, CHO, (CH₂)<sub>n</sub>OR⁵, (CH₂)<sub>n</sub>Het, (CH₂)<sub>n</sub>N(R⁵)₂, CH=N-OA, CH₂CH=N-OA, (CH₂)<sub>n</sub>NHOA, (CH₂)<sub>n</sub>N(R⁵)Het, (CH₂)<sub>n</sub>CH=N-Het, (CH₂)<sub>n</sub>OCOR⁵, (CH₂)<sub>n</sub>N(R⁵)CH₂CH₂OR⁵, (CH₂)<sub>n</sub>N(R⁵)CH₂CH₂OCF₃, (CH₂)<sub>n</sub>N(R⁵)C(R⁵)HCOOR⁵, (CH₂)<sub>n</sub>N(R⁵)CH₂COHet, (CH₂)<sub>n</sub>N(R⁵)CH₂Het, (CH₂)<sub>n</sub>N(R⁵)CH₂CH₂Het, (CH₂)<sub>n</sub>N(R⁵)CH₂CH₂N(R⁵)CH₂COOR⁵, (CH₂)<sub>n</sub>N(R⁵)CH₂CH₂N(R⁵)₂, CH=CHCOOR⁵, CH=CHCH₂NR⁵Het, CH=CHCH₂N(R⁵)₂, CH=CHCH₂OR⁵ or (CH₂)<sub>n</sub>N(R⁵)Ar, where in each case one of the radicals R³ or R⁴ denotes H,

30 R⁵ denotes H or A,

A denotes straight-chain or branched alkyl or alkoxy having 1 to 10 C atoms, alkenyl or alkoxyalkyl having 2 to 10 C atoms,

35 Het denotes a saturated, unsaturated or aromatic mono- or bicyclic heterocyclic or linear or branched organic radical

containing one or more heteroatoms which is unsubstituted or mono- or polysubstituted by A and/or Hal,

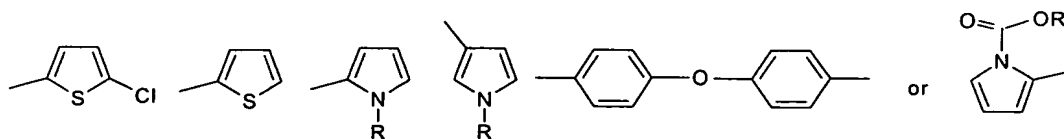
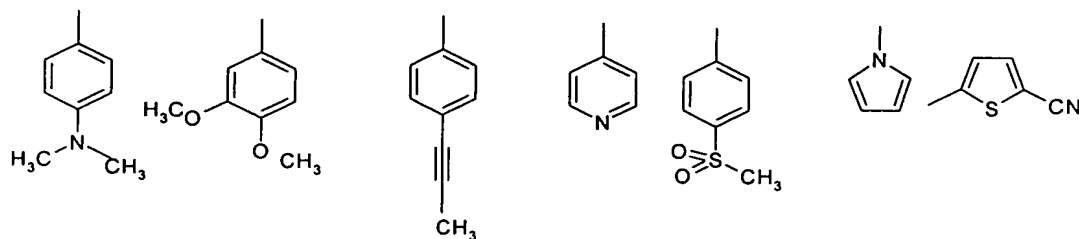
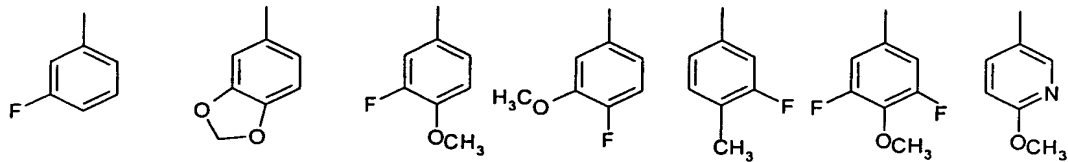
Ar denotes a phenyl radical which is unsubstituted or mono- or polysubstituted by A and/or Hal, OR<sup>5</sup>, OOCR<sup>5</sup>, COOR<sup>5</sup>, CON(R<sup>5</sup>)<sub>2</sub>, CN, NO<sub>2</sub>, NH<sub>2</sub>, NHCOR<sup>5</sup>, CF<sub>3</sub> or SO<sub>2</sub>CH<sub>3</sub>,

n denotes 0, 1, 2, 3, 4 or 5,

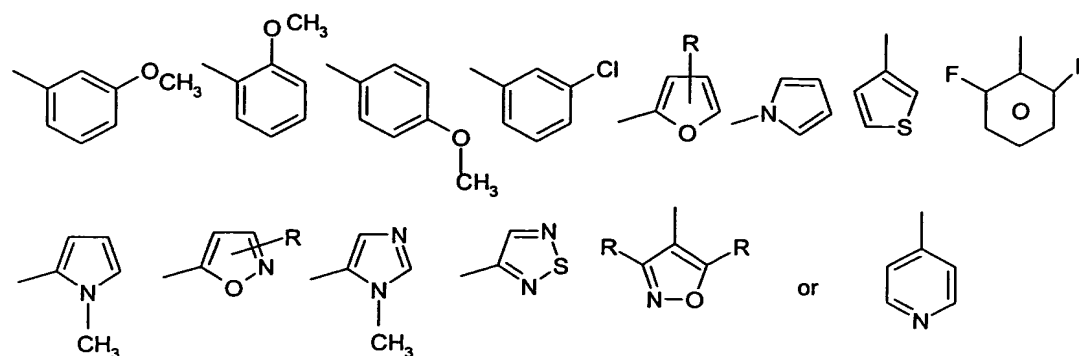
Hal denotes F, Cl, Br or I,

and

X denotes N or, in the case where R<sup>1</sup> denotes



in which R denotes H or an alkyl group having 1 to 6 C atoms, and/or R<sup>2</sup> has one of the following meanings:



in which R denotes H or an alkyl group having 1 to 6 C atoms,

alternatively denotes CH,

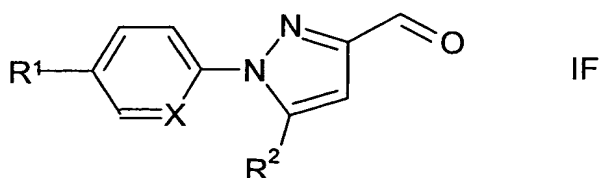
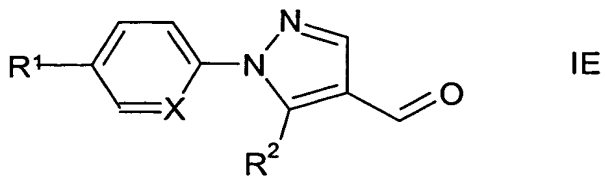
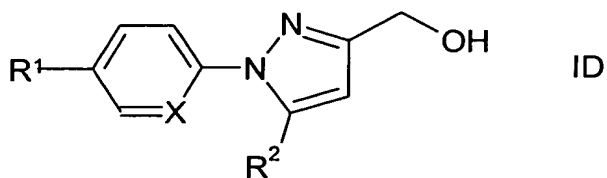
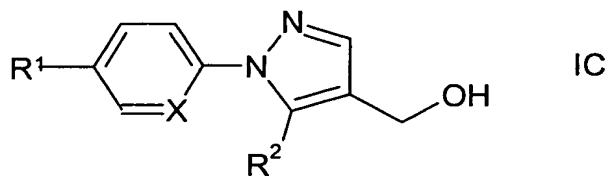
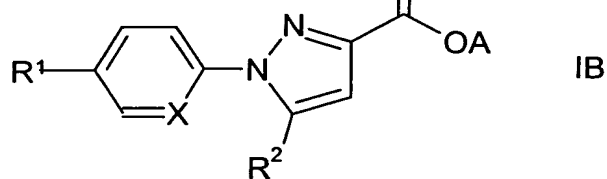
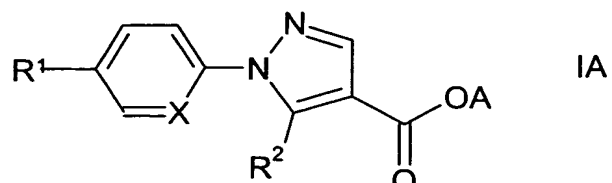
and salts and solvates, enantiomers, and racemates thereof and other mixtures of the enantiomers, in particular physiologically tolerated salts and solvates thereof.

2. Compounds of the formula I according to Claim 1, in which R<sup>1</sup> denotes phenyl, 2-, 3- or 4-cyanophenyl, 2-, 3- or 4-fluorophenyl, 2-, 3- or 4-methyl-, -ethyl-, -n-propyl- or -n-butylphenyl, 2,3-, 2,4-, 2,5-, 2,6-, 3,4-, 3,5- or 3,6-difluoro-, -dichloro- or -dicyanophenyl, 3,4,5-trifluorophenyl, 3,4,5-trimethoxy- or -triethoxyphenyl, thiophen-2-yl or thiophen-3-yl.
3. Compounds of the formula I according to one or more of the preceding claims, in which R<sup>3</sup> denotes H.
4. Compounds of the formula I according to one or more of the preceding claims, in which R<sup>4</sup> denotes H.
5. Compounds of the formula I according to one or more of the preceding claims, in which R<sup>2</sup> denotes phenyl, 2-, 3- or 4-cyanophenyl, 2-, 3- or 4-fluorophenyl, 2-, 3- or 4-methyl-, -ethyl-, -n-propyl- or -n-butylphenyl, 2,3-, 2,4-, 2,5- or 2,6-difluoro- or -dicyanophenyl, thiophen-2-yl or thiophen-3-yl, 2-, 3- or 4-pyridyl, 2-, 4- or 5-oxazolyl, 2-, 4- or

5-thiazolyl, quinolinyl, isoquinolinyl, 2- or 4-pyridazyl, 2-, 4- or 5-pyrimidyl, or 2- or 3-pyrazinyl.

6. Compounds of the formula I according to one or more of the preceding claims, in which X denotes N.

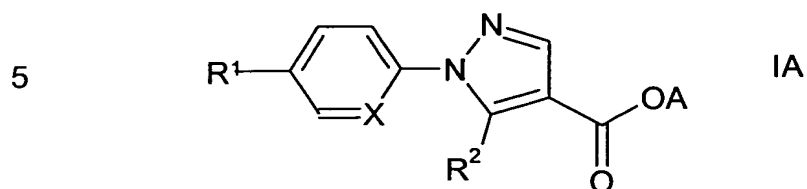
7. Compounds of the formulae IA, IB, IC, ID, IE and IF:



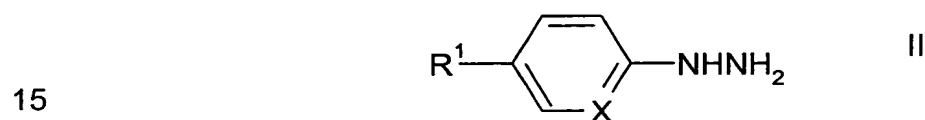
in which

R<sup>1</sup>, R<sup>2</sup> and X have the meanings indicated in Claim 1.

## 8. Process for the preparation of compounds of the formula IA



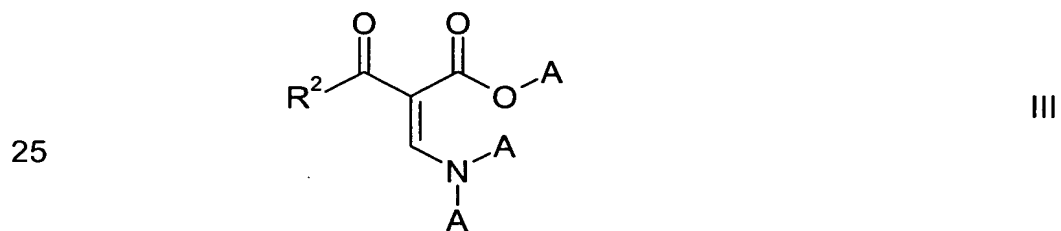
in which R¹, R², R³, R⁴, X and A have the meanings indicated in Claim 1,  
 10 and salts and solvates thereof, which is characterised in that a compound of the formula II



or acid-addition salts thereof

in which

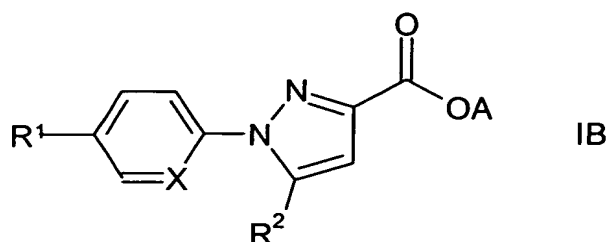
20 R¹ and X have the meanings indicated in Claim 1,  
 is reacted with a compound of the formula III



in which

30 A and R² have the meanings indicated in Claim 1,  
 and/or in that a basic compound of the formula IA is converted into one of its salts by treatment with an acid.

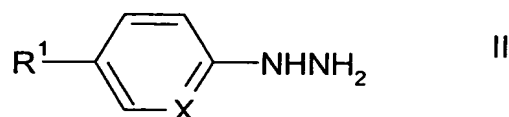
## 9. Process for the preparation of compounds of the formula IB



in which  $R^1$ ,  $R^2$ ,  $R^3$ ,  $R^4$ , X and A have the meanings indicated in Claim 1,

and salts and solvates thereof, which is characterised in that a compound of the formula II

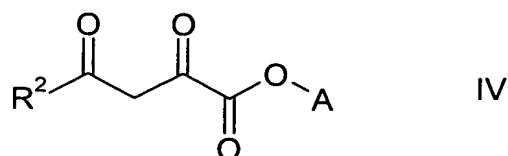
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15 or acid-addition salts thereof

in which

$R^1$  and X have the meanings indicated in Claim 1,  
is reacted with a compound of the formula IV



25 in which

A and  $R^2$  have the meanings indicated in Claim 1,

and/or in that a basic compound of the formula IB is converted into one of its salts by treatment with an acid.

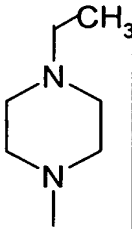
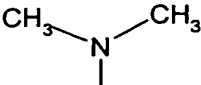
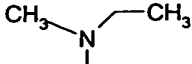
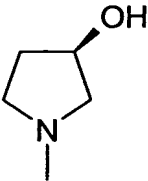
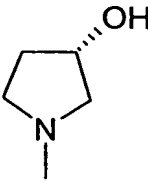
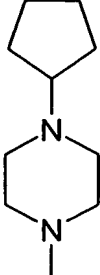
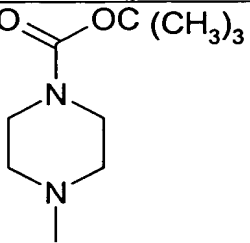
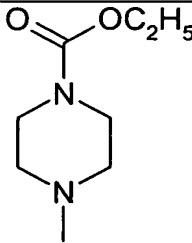
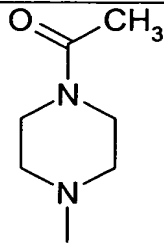
30 10. Compounds of the formula I according to one or more of Claims 1 to 6 and physiologically acceptable salts and solvates thereof as medicaments.

35 11. Use of the compounds of the formula I according to one or more of Claims 1 to 6, and salts and solvates thereof, for the preparation of a medicament for the treatment and prophylaxis of diseases which can

be influenced by the binding of the compounds of the formula I to 5 HT receptors.

- 5           12. Use of compounds of the formula I according to one or more of Claims 1 to 6 and/or physiologically acceptable salts and solvates thereof for the preparation of a medicament having a 5-HT receptor-antagonistic action.
- 10           13. Use of compounds of the formula I according to one or more of Claims 1 to 6 and/or physiologically acceptable salts and solvates thereof for the preparation of a medicament having a 5-HT<sub>2A</sub> receptor-antagonistic action.
- 15           14. Pharmaceutical composition characterised by a content of at least one compound of the formula I according to one or more of Claims 1 to 6 and/or one of its physiologically acceptable salts and/or one of its solvates.
- 20           15. Process for the preparation of pharmaceutical compositions, characterised in that a compound of the formula I according to Claim 1 and/or one of its physiologically acceptable salts and/or one of its solvates is converted into a suitable dosage form together with at least one solid, liquid or semi-liquid excipient or adjuvant.
- 25           16. Use of compounds of the formula I according to one or more of Claims 1 to 6 and/or physiologically acceptable salts or solvates thereof for the preparation of a medicament for the prophylaxis and/or treatment of psychoses, neurological disorders, amyotrophic lateral sclerosis, eating disorders, such as bulimia, anorexia nervosa, of  
30           premenstrual syndrome and/or for positively influencing obsessive-compulsive disorder (OCD).
- 35           17. Compounds of the formula I in which Het is one of the following radicals:

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